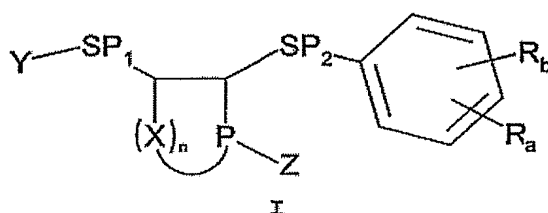


# **REMARKS**

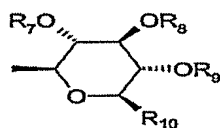
Claims 1-16 are currently pending in the present application. Claims 2-6 and 9-12 have been cancelled by this Amendment. Claims 1, 7 and 8 are currently amended and claims 18-28 are newly added by this Amendment.

Support for amended claim 1, 7, and 8 can be found for example in originally filed claims 1-5. Support for newly added claim 18, which is directed to the specific ring systems of formulae IIIa and IIIc, can be found for example at paragraph [0028] of the published application. Support for new claims 19-24 can be found for example on pages 8-11 of the specification. Finally, support for new claims 25-28 can be found for example in originally filed claims 13-16. No new matter has been added by these Amendments.

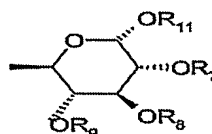
Claim 1 is directed to a compound according to formula I



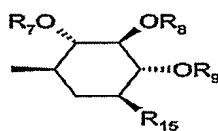
wherein P represents  $-N<$ ;  $(X)_n$  represents  $-OOC-$ ,  $-COO-$ ,  $-CONH-$ ,  $-CH=N-$ ;  $R_a$  represents H, lower alkyl,  $-OR_3$ ,  $-O(CO)R_3$ ,  $-O(CO)OR_3$ ,  $-O(CO)NR_3R_4$ ,  $-N_3R_4$ ,  $-NR_3(CO)R_4$ ,  $-COOR_3$ ,  $-CONR_3R_4$ ,  $-CH=CHCOOR_3$ ,  $-CF_3$ ,  $-CN$ ,  $-NO_2$ ,  $SO_3H$ ,  $PO_3H$  or halogen, wherein  $R_3$  and  $R_4$  represent H or lower alkyl;  $R_b$  represents H, OH,  $-OSO_2Me$ ,  $-OSO_2W$  wherein W represents optionally substituted aryl or heteroaryl,  $-OCO(CHOH)_2COOR_5$  wherein  $R_5$  represents H or lower alkyl; or represents the formula  $-Sp_3-R_6$ , wherein  $Sp_3$  represents a covalent bond,  $-O-$ ,  $-OCH_2-$ ,  $-OSO_2CH_2-$ ,  $-OSO_2-$ ,  $-OSO_2-(p)C_6H_4O-$  and  $R_6$  represents one of carbohydrate structures A-D:



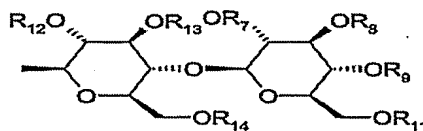
A



B



C



D

wherein R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>13</sub> and R<sub>14</sub> represent independently of each other H, lower alkyl, aryl(lower alkyl), -CO-lower alkyl, -CO-aryl, -SO<sub>3</sub>- or -PO<sub>3</sub>-, R<sub>10</sub> represents -CH<sub>2</sub>OR<sub>16</sub> or -COOR<sub>17</sub>, and R<sub>15</sub> represents -CH<sub>2</sub>OR<sub>16</sub>, -COOR<sub>17</sub>, -CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>OPO<sub>3</sub>- or -CH<sub>2</sub>OSO<sub>3</sub>-, wherein R<sub>16</sub> and R<sub>17</sub> independently of each other represent H, lower alkyl, aryl (lower alkyl), -CO-lower alkyl, -CO-aryl, -SO<sub>3</sub>- or -PO<sub>3</sub>-; Z represents optionally substituted aryl or heteroaryl; Sp<sub>1</sub> represents a lower alkyl group -(CH<sub>2</sub>)<sub>p</sub>-, wherein p is from 2-6, which is unsubstituted, mono or poly-substituted by -OH, -OR<sub>18</sub>, halogen or cyano group, wherein one or more -CH<sub>2</sub>- groups may independently be replaced by -O-, -CO-, -CO-O-, -O-CO-, -NR<sub>19</sub>-, -NR<sub>19</sub>-CO-, -CO-NR<sub>19</sub>-, -CH=CH-, -C≡C- and wherein R<sub>18</sub> and R<sub>19</sub> represent a hydrogen atom or lower alkyl; Sp<sub>2</sub> represents a covalent bond or a lower alkyl group -(CH<sub>2</sub>)<sub>q</sub>-, wherein q is from 1-6, which is unsubstituted, mono or poly-substituted by -OH, -OR<sub>20</sub>, halogen or cyano group, wherein one or more -CH<sub>2</sub>- groups may independently be replaced by -O-, -CO-, -CO-O-, -O-CO-, -NR<sub>21</sub>-, -NR<sub>21</sub>-CO-, -CO-NR<sub>21</sub>-, -CH=CH-, -C≡C- and wherein R<sub>20</sub>, and R<sub>21</sub>, represents a hydrogen atom or lower alkyl; and Y represents optionally substituted aryl or heteroaryl.

**35 U.S.C. §112, second paragraph**

Claims 1-16 are currently rejected under 35 U.S.C. §112, second paragraph as being indefinite for failing to particularly point out and distinctly claim the subject matter which Applicant regards as the invention.

In view of the amendments to the claimed invention, the removal of the rejection of claims 1-16 under 35 U.S.C. §112, second paragraph, is respectfully requested.

**35 U.S.C. §112, first paragraph**

Claims 14-16 are presently rejected under 35 U.S.C. §112, first paragraph as containing subject matter which was not described in the specification in such a way as to reasonably convey to one skilled in the relevant art that the inventor(s), at the time the application was filed, had possession of the claimed invention. We respectfully disagree.

Particularly, we would like to point the Examiner's attention to Example 18 starting on page 26 as well as paragraphs [0059] through [0061] on page 6 of the description. In Example 18, the compounds of the claimed invention were tested by well established methods to determine their potential in the treatment and prevention of arteriosclerosis or for the reduction of cholesterol levels. The assay of Example 18 is based on the measurement of

cholesterol uptake in rabbit brush border membrane vesicles (or the inhibition thereof). The assay closely mimics the *in vivo* situation and thus allows one skilled in the art to directly predict the potential of the compound of the claimed invention to reduce cholesterol levels. Since it is known in the art that cholesterol level is one of the major influences on the development of arteriosclerosis, it would follow that a compound which shows high efficacy in the described assay is suitable for use in the treatment and prevention of arteriosclerosis.

We further point out that Example 18 in fact shows that the compounds of the invention proved to have an even higher efficacy as the well-known compound Ezetimibe (which is currently used as an anti-hyperlipidemic medication to lower cholesterol levels).

Thus, we submit that the description, in particular Example 18, clearly shows that Applicants were in full possession of the claimed invention of claims 14-16 at the time the application was filed. Applicants respectfully request the removal of the rejection of claims 14-16 under 35 U.S.C. §112, first paragraph.

**35 U.S.C. § 102**

Claims 1-4 and 7-10 are currently rejected under 35 U.S.C. §102(b) as being anticipated by Van Heek et al. (Journal of Pharmacology and Experimental Therapeutics (1997), 283 (1), pages 157-163) (abstract only).

Claims 1, 3, 7-9 and 13-16 are currently rejected under 35 U.S.C. §102(b) as being anticipated by Rosenblum et al. (Journal of Medicinal Chemistry (1998) 41, pages 973-980).

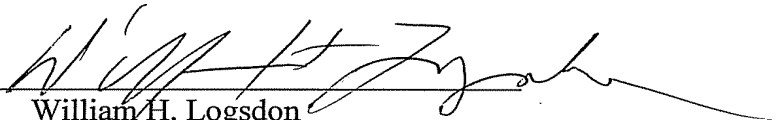
In view of the present amendment, we assert that the claimed invention is not anticipated by Van Heek et al. or by Rosenblum et al. Therefore, the removal of the rejection of claims 1-4 and 7-10 under 35 U.S.C. §102(b) as being anticipated by Van Heek et al. as well as the removal of the rejection of claims 1, 3, 7-9 and 13-16 under 35 U.S.C. §102(b) as being anticipated by Rosenblum et al. is respectfully requested.

**CONCLUSION**

For all of the foregoing reasons, Applicants submit that pending claims 1, 7-8, 13-16 and 18-28 comply with the requirements of Section 112 and are patentable over the cited documents and are in condition for allowance. Accordingly, reconsideration of the rejections and allowance of pending claims 1, 7-8, 13-16 and 18-28 are respectfully requested.

Should the Examiner have any questions regarding any of the foregoing, or wish to discuss this application in further detail to advance prosecution, the Examiner is invited to contact Applicants' undersigned representative at the telephone number provided below.

Respectfully submitted,  
THE WEBB LAW FIRM

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